CLAIMS

1. A pharmaceutical composition comprising as active principle at least one among the 3-aza-bicyclo[3.2.1]octane derivatives of general formula (I), or their dimers of general formula (II) and (III), or mixtures thereof

5 wherein:

i5

20

25

 R_1 and R'_1 , equal or different between each other, are selected from the group consisting of H, C_{1-8} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, cycloalkyl, aryl, heterocycle, aryl C_{1-8} alkyl; heterocycle C_{1-8} alkyl, RR'N- C_{1-8} alkyl, RR'N-aryl, FmocNR'-aryl, BocNR'-aryl, RO-aryl, R(O)C-aryl, RO(O)C-aryl, RR'N(O)C-aryl; FmocNR'- C_{1-8} alkyl, BocNR'- C_{1-8} alkyl, CbzNR'- C_{1-8} alkyl, FmocNR'- C_{1-8} aryl, BocNR'- C_{1-8} aryl,

R₂ and R'₂, equal or different between each other, are selected from the group consisting of H, C₁₋₈alkyl, C₂₋₈alkenyl, C₂₋₈alkynyl, cycloalkyl, aryl, arylC₁₋₈alkyl, heterocycleC₁₋₈alkyl, aminoC₁₋₈alkyl, aminoaryl, C₁₋₈alkyloxyaryl, hydroxyaryl, methyloxycarbonylC₁₋₈alkyl, carboxyaryl, hydroxyC₁₋₈alkyl, carboxyC₁₋₈alkyl, carboalkyloxyaryl, alkylcarbamoylaryl and -(side chains of amino acids), or R₁ and R₂, taken together, and R₁' and R₂', taken together, are C₁₋₄alkyl, C₂₋₄ alkenyl, cycloalkyl or benzofused cycloalkyl, to form a bridge of 3, 4, 5, 6 terms, R₃ and R₃' are selected from the group consisting of H, C₁₋₈alkyl, C₂₋₈alkenyl, C₂₋₁ 8alkynyl, cycloalkyl, aryl, arylC₁₋₈alkyl, heterocycleC₁₋₈alkyl, RR'NC₁₋₈alkyl, RR'Naryl, RO- C_{1-8} alkyl, RO(O)C- C_{1-8} alkyl, R(O)C- C_{1-8} alkyl, RC(O)O- C_{1-8} alkyl, RO(O)C-aryl, R(O)C-aryl RC(O)O-aryl, RO-aryl, $RC(0)N(R)C_{1-8}alkyl,$ RC(O)N(R)aryl, -CH(amino acid side-chain)CO2R, -CH(amino acid sidechain)C(O)NR, -CH(CO₂R)- amino acid side-chain, CH(CONRR')- amino acid side-chain, Fmoc, Boc and Cbz.

15

20

 R_4 , R'_4 R_5 , and R'_5 , equal or different amongst each other, are selected from the group consisting of H, C_{1-8} alkyl, C_{2-8} alchenyl, C_{2-8} alchinyl, cycloalkyl, aryl, heterocycle, aryl C_{1-8} alkyl and heterocycle C_{1-8} alkyl,

R₆ is selected from the group consisting of H, C₁₋₈alkyl, C₂₋₈alkenyl, C₂₋₈alkynyl, cycloalkyl, aryl, arylC₁₋₈alkyl, heterocycle, heterocycleC₁₋₈alkyl; -C(O)R, -C(O)OR, -C(O)NRR', CH₂OR, CH₂NRR', -C(O)NH-CH(amino acid side-chain)C(O)OR, CH₂NR-Fmoc, CH₂NR-Boc and CH₂NR-CBz,

R and R', equal or different between each other, are selected from the group consisting of H, C₁₋₈alkyl, C₂₋₈alkenyl, C₂₋₈alkynyl, cycloalkyl, aryl, heterocycle, arylC₁₋₈alkyl; heterocycleC₁₋₈alkyl; protecting group, -C(O)CH-(amino acid sidechain)-NHT, -NH-CH(amino acid side-chain)COOT and -CH(amino acid sidechain)COOT.

where T is selected from between H and C₁₋₈alkyl;

X and X', equal or different between each other, are selected from between O and S, when a is a double bond, or

X and X' are both H, when a is a single bond,

Y and Z, equal or different from each other, are selected from the group consisting of O, S, SO, SO₂ and N-R, wherein R is as above defined;

Q is selected from the group consisting of C=O, CH₂, CO-NH-CH (amino acid side-chain)-CO, CONR(CH₂)_nCO, CONR-C₂₋₈alkenyl-CO C(O)O(CH₂)_nCO, CH₂OC(O)(CH₂)_nCO, and CH₂NRC(O)(CH₂)_nCO, wherein n is comprised between 2 and 6, and R is as above defined, Q is selected from the group consisting of C(O)OCH₂, C(O)NRCH₂, CH₂OC(O), CH₂NRC(O), CONR(CH₂)_nNRCO, CONR-C₂₋₈alkenyl-NRCO, C(O)O(CH₂)_nNRCO, CONR(CH₂)_nOC(O),

CH₂OC(O)(CH₂)_nOC(O)CH₂, CH₂NRC(O)(CH₂)_nNRC(O)CH₂, CH₂NRC(O)(CH₂)_nNRC(O)CH₂, CH₂NR(CH₂)_nNRCH₂, CH₂O(CH₂)_nNRCH₂, CH₂O(CH₂)_nNRCH₂, and CH₂NR(CH₂)_nOCH₂, wherein n is comprised between 2 and 6, and R is as above defined, and where the groups alkyl, alkenyl, alkynyl, cycloalkyl, aryl and the heterocyclic groups above reported, are possibly substituted.

- 2. The pharmaceutical composition according to claim 1, wherein in 3-aza-bicyclo[3.2.1]octane derivatives of formula (I) and in their dimers of formula (II) Z is O.
- 3. The pharmaceutical composition according to claim 1, wherein the alkyl, alkenyl, alkynyl, cycloalkyl, aryl and heterocyclic groups may be substituted with one or more moieties chosen from the group consisting of halogen, cyano, nitro, amino, hydroxy, carboxylic acid, carbonyl and C₁₋₆ alkyl.
- 4. The pharmaceutical composition according to claim 1, wherein the 3-aza-bicyclo[3.2.1]octane derivatives of formula (I) and their dimers of formula (II) and (III) are selected from the compounds having the following formulas:

			R ₂ R ₁ O O	${}^{}R_{6}$	
	·		X .	(I)	
Compound	X	R ₁	R ₂	R ₃	R ₆
1	0	Н	Н	PhCH₂	(R) -CO₂Me
2	0	Н	Н	PhCH ₂	(S) -CO₂Me
3	0	Н	Н	PhCH₂	(R)-CON
4	0	Н	Н	PhCH₂	(R)-CON
5	0	Н	(S) -Me	PhCH ₂	(R) -CO₂Me
6	0	Н	(S) -Me	PhCH ₂	(S) -CO ₂ Me
7	0	H	(R) -Me	PhCH ₂	(R) -CO ₂ Me
8	0	Н	(R) -Me	PhCH ₂	(S) -CO ₂ Me
9	0	Н	(R) -CH₂Ph	PhCH ₂	(S) -CO ₂ Me
10	0	н	(R) -CH ₂ Ph	PhCH₂	(R) -CO ₂ Me

12 O H (S)-CH ₂ Ph PhCH ₂ (R)-CO ₂ Me 13 O H (S)-CH ₂ OBn PhCH ₂ (R)-CO ₂ Me 14 O H (S)-CH ₂ OBn PhCH ₂ (R)-CO ₂ Me 15 O H (R)-CH ₂ OBn PhCH ₂ (S)-CO ₂ Me 16 O H (R)-CH ₂ OBn PhCH ₂ (S)-CO ₂ Me 17 O H (S)-CH ₂ OBn PhCH ₂ (S)-CO ₂ Me 18 O H (S)-CH ₂ OH PhCH ₂ (R)-CO ₂ Me 19 O H (S)-CH ₂ OH PhCH ₂ (R)-CO ₂ Me 20 O H (R)-CH ₂ OH PhCH ₂ (S)-CO ₂ Me 21 O H = CH ₂ PhCH ₂ (R)-CO ₂ Me 22 O H = CH ₂ PhCH ₂ (R)-CO ₂ Me 23 O H (R)-CH ₂ OH PhCH ₂ (S)-CO ₂ Me 24 S H H PhCH ₂ (S)-CO ₂ Me 25 S H H PhCH ₂ (R)-CO ₂ Me 26 S H H PhCH ₂ (R)-CO ₃ Me 27 O Ph H PhCH ₂ (R)-CO ₃ Me 28 O Ph H PhCH ₂ (R)-CO ₃ Me 29 O Ph H CH(Ph) ₂ (R)-CO ₃ Me 30 O Ph H CH(Ph) ₂ (S)-CO ₂ Me 31 O NO ₂ -Ph H PhCH ₂ (S)-CO ₂ Me 32 H H H H H (R)-CO ₂ Me 33 H H H H H (R)-CO ₂ Me 34 H H H H H (R)-CO ₂ Me 35 H H H PhCH ₂ (S)-CO ₂ Me 36 H H H PhCH ₂ (S)-CO ₂ Me 37 H H H H H Fmoc (S)-CO ₂ H				•		•
13 O H (S)-CH ₂ OBn PhCH ₂ (R)-CO ₂ Me 14 O H (S)-CH ₂ OBn PhCH ₂ (S)-CO ₂ Me 15 O H (R)-CH ₂ OBn PhCH ₂ (S)-CO ₂ Me 16 O H (R)-CH ₂ OBn PhCH ₂ (S)-CO ₂ Me 17 O H (S)-CH ₂ OBn PhCH ₂ (S)-CO ₂ Me 18 O H (S)-CH ₂ OH PhCH ₂ (R)-CO ₂ Me 19 O H (R)-CH ₂ OH PhCH ₂ (S)-CO ₂ Me 20 O H (R)-CH ₂ OH PhCH ₂ (S)-CO ₂ Me 21 O H =CH ₂ PhCH ₂ (S)-CO ₂ Me 22 O H =CH ₂ PhCH ₂ (S)-CO ₂ Me 23 O H (R)-CH ₂ OH PhCH ₂ (S)-CO ₂ Me 24 S H H PhCH ₂ (S)-CO ₂ Me 25 S H H PhCH ₂ (R)-CO ₂ Me 26 S H H PhCH ₂ (R)-CONH(CH ₂) ₂ OH 27 O Ph H PhCH ₂ (R)-CONH(CH ₂) ₂ OH 28 O Ph H PhCH ₂ (R)-CONH(CH ₂) ₂ OH 29 O Ph H CH(Ph) ₂ (R)-CO ₂ Me 30 O Ph H CH(Ph) ₂ (R)-CO ₂ Me 31 O NO ₂ -Ph H PhCH ₂ (S)-CO ₂ Me 32 H H H H H (R)-CO ₂ Me 33 H H H H H (R)-CO ₂ Me 34 H H H H H (R)-CO ₂ Me 35 H H H PhCH ₂ (S)-CO ₂ Me 36 H H H PhCH ₂ (S)-CO ₂ Me	11	0	Н	(S) -CH ₂ Ph	PhCH ₂	(S)-CO ₂ Me
14 O H (S)-CH ₂ OBn PhCH ₂ (S)-CO ₂ Me 15 O H (R)-CH ₂ OBn PhCH ₂ (R)-CO ₂ Me 16 O H (R)-CH ₂ OBn PhCH ₂ (R)-CO ₂ Me 17 O H (S)-CH ₂ OH PhCH ₂ (S)-CO ₂ Me 18 O H (S)-CH ₂ OH PhCH ₂ (S)-CO ₂ Me 19 O H (R)-CH ₂ OH PhCH ₂ (S)-CO ₂ Me 20 O H (R)-CH ₂ OH PhCH ₂ (S)-CO ₂ Me 21 O H = CH ₂ PhCH ₂ (S)-CO ₂ Me 22 O H = CH ₂ PhCH ₂ (S)-CO ₂ Me 23 O H (R)-CH ₂ OH PhCH ₂ (S)-CO ₂ Me 24 S H H PhCH ₂ (S)-CO ₂ Me 25 S H H PhCH ₂ (R)-CONH(CH ₂) ₂ OH 26 S H H PhCH ₂ (R)-CONH(CH ₂) ₂ OH 27 O Ph H PhCH ₂ (R)-CONH(CH ₂) ₂ OH 28 O Ph H PhCH ₂ (R)-CO ₂ Me 29 O Ph H CH(Ph) ₂ (S)-CO ₂ Me 31 O NO ₂ -Ph H CH(Ph) ₂ (S)-CO ₂ Me 32 H H H H H (S)-CO ₂ He 33 H H H H H (S)-CO ₂ He 34 H H H H H (S)-CO ₂ He 35 H H H PhCH ₂ (S)-CO ₂ Me	12	0	Н	(S) -CH₂Ph	PhCH ₂	(R) -CO ₂ Me
15 O H (R)-CH ₂ OBn PhCH ₂ (R)-CO ₂ Me 16 O H (R)-CH ₂ OBn PhCH ₂ (S)-CO ₂ Me 17 O H (S)-CH ₂ OH PhCH ₂ (S)-CO ₂ Me 18 O H (S)-CH ₂ OH PhCH ₂ (S)-CO ₂ Me 19 O H (R)-CH ₂ OH PhCH ₂ (S)-CO ₂ Me 20 O H (R)-CH ₂ OH PhCH ₂ (S)-CO ₂ Me 21 O H = CH ₂ PhCH ₂ (R)-CO ₂ Me 22 O H = CH ₂ PhCH ₂ (R)-CO ₂ Me 23 O H (R)-CH ₂ OH PhCH ₂ (S)-CO ₂ Me 24 S H H PhCH ₂ (S)-CO ₂ Me 25 S H H PhCH ₂ (R)-CONH(CH ₂) ₂ OH 26 S H H PhCH ₂ (R)-CONH(CH ₂) ₂ OH 27 O Ph H PhCH ₂ (R)-CONH(CH ₂) ₂ OH 28 O Ph H PhCH ₂ (R)-CO ₂ Me 29 O Ph H CH(Ph) ₂ (S)-CO ₂ Me 31 O NO ₂ -Ph H CH(Ph) ₂ (S)-CO ₂ Me 31 O NO ₂ -Ph H H (R)-CO ₂ H 33 H H H H H (R)-CO ₂ H 34 H H H H H (R)-CO ₂ H 35 H H H PhCH ₂ (S)-CO ₂ Me 36 H H H H H (R)-CO ₂ H 37 H H H H Fmcc (R)-CO ₂ H 38 H H H Fmcc (R)-CO ₂ H 39 H H H Fmcc (R)-CO ₂ H	13	0	Н	(S)-CH ₂ OBn	PhCH ₂	(R) -CO ₂ Me
16 O H (R)-CH ₂ OBn PhCH ₂ (S)-CO ₂ Me 17 O H (S)-CH ₂ OH PhCH ₂ (R)-CO ₂ Me 18 O H (S)-CH ₂ OH PhCH ₂ (R)-CO ₂ Me 19 O H (R)-CH ₂ OH PhCH ₂ (R)-CO ₂ Me 20 O H (R)-CH ₂ OH PhCH ₂ (R)-CO ₂ Me 21 O H = CH ₂ PhCH ₂ (R)-CO ₂ Me 22 O H = CH ₂ PhCH ₂ (R)-CO ₂ Me 23 O H (R)-CH ₂ OH PhCH ₂ (S)-CO ₂ Me 24 S H H PhCH ₂ (S)-CO ₂ Me 25 S H H PhCH ₂ (R)-CO ₃ Me 26 S H H PhCH ₂ (R)-CONH(CH ₂) ₂ OH 27 O Ph H PhCH ₂ (R)-CONH(CH ₂) ₂ OH 28 O Ph H PhCH ₂ (R)-CO ₂ Me 30 O Ph H CH(Ph) ₂ (R)-CO ₂ Me 31 O NO ₂ -Ph H Ph CH(Ph) ₂ (S)-CO ₂ Me 32 H H H H H (R)-CO ₂ Me 33 H H H H H (R)-CO ₂ He 34 H H H H H (R)-CO ₂ He 35 H H H PhCH ₂ (R)-CO ₂ Me	·14	0	Н	(S)-CH ₂ OBn	PhCH ₂	(S)-CO ₂ Me
16 O H (R)-CH ₂ OBn PhCH ₂ (S)-CO ₂ Me 17 O H (S)-CH ₂ OH PhCH ₂ (R)-CO ₂ Me 18 O H (S)-CH ₂ OH PhCH ₂ (S)-CO ₂ Me 19 O H (R)-CH ₂ OH PhCH ₂ (R)-CO ₂ Me 20 O H (R)-CH ₂ OH PhCH ₂ (S)-CO ₂ Me 21 O H =CH ₂ PhCH ₂ (R)-CO ₂ Me 22 O H =CH ₂ PhCH ₂ (S)-CO ₂ Me 23 O H (R)-CH ₂ OH PhCH ₂ (S)-CO ₂ Me 24 S H H PhCH ₂ (R)-CO ₂ Me 25 S H H PhCH ₂ (R)-CO ₁ Me 26 S H H PhCH ₂ (R)-CO ₂ Me 28 O Ph H PhCH ₂ (S)-CO ₂ Me 29 O Ph H CH(Ph) ₂ (S)-CO ₂ Me <t< td=""><td>15</td><td>0</td><td>Н</td><td>(R)-CH₂OBn</td><td>PhCH₂</td><td><u>.L</u></td></t<>	15	0	Н	(R)-CH ₂ OBn	PhCH ₂	<u>.L</u>
18 O	16	0	Н	(R)-CH₂OBn	PhCH ₂	<u> </u>
19 O H (R)-CH ₂ OH PhCH ₂ (R)-CO ₂ Me 20 O H (R)-CH ₂ OH PhCH ₂ (S)-CO ₂ Me 21 O H = CH ₂ PhCH ₂ (R) -CO ₂ Me 22 O H = CH ₂ PhCH ₂ (S) -CO ₂ Me 23 O H (R)-CH ₂ OH PhCH ₂ (S) -CO ₂ Me 24 S H H PhCH ₂ (R)-CO ₂ Me 25 S H H PhCH ₂ (R)-CO ₁ Me 26 S H H PhCH ₂ (R)-CONH(CH ₂) ₂ NH 26 S H H PhCH ₂ (R)-CONH(CH ₂) ₂ NH 27 O Ph H PhCH ₂ (R)-CONH(CH ₂) ₂ OH 28 O Ph H PhCH ₂ (S) -CO ₂ Me 29 O Ph H CH(Ph) ₂ (R)-CO ₂ Me 30 O Ph H CH(Ph) ₂ (R)-CO ₂ Me 31 O NO ₂ -Ph H Ph (S)-CO ₂ Me 32 H H H H H (R) -CO ₂ Me 33 H H H H H (R) -CO ₂ H 34 H H H H H (R) -CO ₂ Me 35 H H H H H H (R) -CO ₂ Me 36 H H H H H FhCH ₂ (S) -CO ₂ Me 37 H H H H FhCH ₂ (S) -CO ₂ H 38 H H H FhCH ₂ (S) -CO ₂ H	17	0	Н	(S)-CH₂OH	PhCH ₂	(R)-CO ₂ Me
20 O	18	0	Н	(S)-CH₂OH	PhCH ₂	(S) -CO ₂ Me
20 O H (R)-CH ₂ OH PhCH ₂ (S)-CO ₂ Me 21 O H =CH ₂ PhCH ₂ (R) -CO ₂ Me 22 O H =CH ₂ PhCH ₂ (S) -CO ₂ Me 23 O H (R)-CH ₂ OH PhCH ₂ (S) -CO ₂ Me 24 S H H PhCH ₂ (R) -CO ₂ Me 25 S H H PhCH ₂ (R)-CONH(CH ₂) ₂ OH 26 S H H PhCH ₂ (R)-CONH(CH ₂) ₂ OH 27 O Ph H PhCH ₂ (S) -CO ₂ Me 28 O Ph H PhCH ₂ (S) -CO ₂ Me 29 O Ph H CH(Ph) ₂ (R) -CO ₂ Me 30 O Ph H Ph (S)-CO ₂ Me 31 O NO ₂ -Ph H Ph (S)-CO ₂ Me 32 H H H H (R) -CO ₂ H 34 H	19	0	Н	(R)-CH₂OH	PhCH ₂	(R)-CO ₂ Me
22	20	0	Н	(R)-CH₂OH	PhCH ₂	(S)-CO ₂ Me
23 O H (R)-CH ₂ OH PhCH ₂ (S) -CO ₂ Me 24 S H H PhCH ₂ (R) -CO ₂ Me 25 S H H PhCH ₂ (R)-CONH(CH ₂) ₂ OH 26 S H H PhCH ₂ (R)-CONH(CH ₂) ₂ OH 27 O Ph H PhCH ₂ (R)-CO ₂ Me 28 O Ph H PhCH ₂ (S) -CO ₂ Me 29 O Ph H CH(Ph) ₂ (R) -CO ₂ Me 30 O Ph H CH(Ph) ₂ (S) -CO ₂ Me 31 O NO ₂ -Ph H Ph (S)-CO ₂ Me 32 H H H H (R) -CO ₂ H 33 H H H H (R) -CO ₂ H 34 H H H H (R) -CO ₂ Me 35 H H H H (R) -CO ₂ H 36 H H	21	0	Н	=CH ₂	PhCH ₂	(R) -CO₂Me
24 S H H PhCH2 (R)-CO2Me 25 S H H PhCH2 (R)-CONH(CH2)2NH 26 S H H PhCH2 (R)-CONH(CH2)2OH 27 O Ph H PhCH2 (R)-CO2Me 28 O Ph H PhCH2 (S)-CO2Me 29 O Ph H CH(Ph)2 (S)-CO2Me 30 O Ph H CH(Ph)2 (S)-CO2Me 31 O NO2-Ph H Ph (S)-CO2Me 32 H H H H (R)-CO2H 33 H H H H (R)-CO2H 34 H H H H (R)-CO2Me 35 H H H H (R)-CO2Me 36 H H H PhCH2 (S)-CO2H 37 H H H PhCH2 (S)-CO2H </td <td>22</td> <td>0</td> <td>Н</td> <td>=CH₂</td> <td>PhCH₂</td> <td>(S) -CO₂Me</td>	22	0	Н	=CH ₂	PhCH ₂	(S) -CO ₂ Me
25 S H H PhCH ₂ (R)-CONH(CH ₂) ₂ NH 26 S H H PhCH ₂ (R)-CONH(CH ₂) ₂ OH 27 O Ph H PhCH ₂ (R)-CO ₂ Me 28 O Ph H PhCH ₂ (S)-CO ₂ Me 29 O Ph H CH(Ph) ₂ (R)-CO ₂ Me 30 O Ph H CH(Ph) ₂ (S)-CO ₂ Me 31 O NO ₂ -Ph H Ph (S)-CO ₂ Me 32 H H H H H (R)-CO ₂ H 33 H H H H H (R)-CO ₂ H 34 H H H H H (R)-CO ₂ Me 35 H H H H H (R)-CO ₂ Me 36 H H H H H S)-CO ₂ Me 37 H H H H PhCH ₂ (S)-CO ₂ H 38 H H H H Fmoc (R)-CO ₂ H 39 H H H Fmoc (R)-CO ₂ H	23	0	Н	(R)-CH₂OH	PhCH ₂	(S) -CO₂Me
26 S H H PhCH ₂ (R)-CONH(CH ₂) ₂ OH 27 O Ph H PhCH ₂ (R)-CONH(CH ₂) ₂ OH 28 O Ph H PhCH ₂ (S)-CO ₂ Me 29 O Ph H CH(Ph) ₂ (R)-CO ₂ Me 30 O Ph H CH(Ph) ₂ (S)-CO ₂ Me 31 O NO ₂ -Ph H Ph (S)-CO ₂ Me 32 H H H H H (R) -CO ₂ H 33 H H H H H (R) -CO ₂ H 34 H H H H (R) -CO ₂ Me 35 H H H H H (R) -CO ₂ Me 36 H H H H PhCH ₂ (S)-CO ₂ Me 36 H H H H PhCH ₂ (S)-CO ₂ Me 37 H H H H FMOC (R)-CO ₂ H 38 H H H FMOC (R)-CO ₂ H	24	S	Н	Н	PhCH ₂	(R) -CO₂Me
27 O Ph H PhCH ₂ (R)-CO ₂ Me 28 O Ph H PhCH ₂ (S)-CO ₂ Me 29 O Ph H CH(Ph) ₂ (R)-CO ₂ Me 30 O Ph H CH(Ph) ₂ (S)-CO ₂ Me 31 O NO ₂ -Ph H Ph (S)-CO ₂ Me 32 H H H H H (R) -CO ₂ H 33 H H H H H (R) -CO ₂ H 34 H H H H (R) -CO ₂ Me 35 H H H H H (R) -CO ₂ Me 36 H H H H PhCH ₂ (S)-CO ₂ Me 37 H H H FM (S)-CO ₂ Me 38 H H H FM (R)-CO ₂ H 39 H H H FM (R)-CO ₂ H	25	S	Н	Н	PhCH ₂	(R)-CONH(CH ₂) ₂ NH ₂
28 O Ph H PhCH ₂ (S)-CO ₂ Me 29 O Ph H CH(Ph) ₂ (R)-CO ₂ Me 30 O Ph H CH(Ph) ₂ (S)-CO ₂ Me 31 O NO ₂ -Ph H Ph (S)-CO ₂ Me 32 H H H H H (R)-CO ₂ H 33 H H H H H (R)-CO ₂ H 34 H H H H (R)-CO ₂ Me 35 H H H H H (R)-CO ₂ Me 36 H H H H PhCH ₂ (S)-CO ₂ Me 37 H H H H Fmoc (R)-CO ₂ H 38 H H H H Fmoc (S)-CO ₂ H	26	S	Н	Н	PhCH ₂	(R)-CONH(CH₂)₂OH
29 O Ph H CH(Ph) ₂ (R) -CO ₂ Me 30 O Ph H CH(Ph) ₂ (S) -CO ₂ Me 31 O NO ₂ -Ph H Ph (S)-CO ₂ Me 32 H H H H H (R) -CO ₂ H 33 H H H H H (R) -CO ₂ H 34 H H H H H (R) -CO ₂ Me 35 H H H H H (R) -CO ₂ Me 36 H H H H PhCH ₂ (S) -CO ₂ H 37 H H H PhCH ₂ (S) -CO ₂ H 38 H H H Fmoc (R) -CO ₂ H	27	0	Ph	Н	PhCH ₂	(R) -CO₂Me
30 O Ph H CH(Ph) ₂ (S)-CO ₂ Me 31 O NO ₂ -Ph H Ph (S)-CO ₂ Me 32 H H H H H (R) -CO ₂ H 33 H H H H H (R) -CO ₂ H 34 H H H H (R) -CO ₂ Me 35 H H H H H (S) -CO ₂ Me 36 H H H H PhCH ₂ (R) -CO ₂ H 37 H H H H PhCH ₂ (S) -CO ₂ H 38 H H H Fmoc (R) -CO ₂ H		0	Ph	Н	PhCH ₂	(S) -CO ₂ Me
31 O NO ₂ -Ph H Ph (S)-CO ₂ Me 32 H H H H H (R) -CO ₂ H 33 H H H H H (R) -CO ₂ H 34 H H H H H (R) -CO ₂ Me 35 H H H H H (S) -CO ₂ Me 36 H H H H PhCH ₂ (S) -CO ₂ H 37 H H H PhCH ₂ (S) -CO ₂ H 38 H H H Fmoc (R) -CO ₂ H 39 H H H Fmoc (S) -CO ₂ H		0	Ph	Н	CH(Ph)₂	(R) -CO₂Me
32 H H H H H (R) -CO ₂ H 33 H H H H H (R) -CO ₂ H 34 H H H H H (R) -CO ₂ Me 35 H H H H H (S) -CO ₂ Me 36 H H H H PhCH ₂ (R) =CO ₂ H 37 H H H PhCH ₂ (S) -CO ₂ H 38 H H H H Fmoc (R) -CO ₂ H	30	0	Ph	Н	CH(Ph) ₂	(S) -CO₂Me
32 H H H H H (R) -CO ₂ H 33 H H H H H (R) -CO ₂ H 34 H H H H H (R) -CO ₂ Me 35 H H H H H (S) -CO ₂ Me 36 H H H H PhCH ₂ (R) =CO ₂ H 37 H H H PhCH ₂ (S) -CO ₂ H 38 H H H H Fmoc (R) -CO ₂ H	31	0	NO-Ph	П	Db	(0) 00 11
33 H H H H H (S) -CO ₂ H 34 H H H H H (R) -CO ₂ Me 35 H H H H H (S) -CO ₂ Me 36 H H H PhCH ₂ (R) -CO ₂ H 37 H H H PhCH ₂ (S) -CO ₂ H 38 H H H Fmoc (R) -CO ₂ H 39 H H H Fmoc (S) -CO ₂ H	0,		1102-111		Pn	(S)-CO₂Me
34 H H H H H (R) -CO ₂ Me 35 H H H H H (S) -CO ₂ Me 36 H H H PhCH ₂ (R) -CO ₂ H 37 H H H PhCH ₂ (S) -CO ₂ H 38 H H H Fmoc (R) -CO ₂ H 39 H H H Fmoc (S) -CO ₂ H	32	Н	Н	Н	Н	(R) -CO₂H
34 H H H H H (R) -CO ₂ Me 35 H H H H H (S) -CO ₂ Me 36 H H H PhCH ₂ (R) -CO ₂ H 37 H H H PhCH ₂ (S) -CO ₂ H 38 H H H Fmoc (R) -CO ₂ H 39 H H H Fmoc (S) -CO ₂ H	33	Н	Н	LJ		(0)
35 H H H H H (S)-CO ₂ Me 36 H H H H PhCH ₂ (R) -CO ₂ H 37 H H H PhCH ₂ (S) -CO ₂ H 38 H H H Fmoc (R) -CO ₂ H 39 H H H Fmoc (S) -CO ₂ H						
36 H H H H PhCH ₂ (R) =CO ₂ H 37 H H H PhCH ₂ (S) -CO ₂ H 38 H H H Fmoc (R) -CO ₂ H 39 H H H Fmoc (S) -CO ₂ H	<u> </u>	<u> </u>				
37 H H H PhCH ₂ (S) -CO ₂ H 38 H H H Fmoc (R) -CO ₂ H 39 H H H Fmoc (S) -CO ₂ H			•			
38 H H H Fmoc (R) -CO ₂ H 39 H H H Fmoc (S) -CO ₂ H		$ldsymbol{ldsymbol{\sqcup}}$	•			
39 H H H Fmoc (S) -CO ₂ H						
10 (5) -CO ₂ F1				·		
40 H H PhCH (R) CO Ma						(S) -CO ₂ H
1101/2 (11) -CO ₂ Me	40	Н	H	Н	PhCH ₂	(R) -CO₂Me

	41	Н	Н	Н	PhCH ₂	(S) -CO ₂ Me
<u> </u>	42	Н	Н	·H	Вос	(<i>R</i>) -CO₂Me
<u> </u>	43	Н	Н	Н	Вос	(S) -CO ₂ Me
 	44	Н	Н	Н	Fmoc	(R) -CO₂Me
<u> </u>	45	Н	, н	H	Fmoc	(S) -CO ₂ Me
-	46 4	Н	Н	Н	Н	(R) -CONHMe
-	47	Н	Н	Н	Н	(S) -CONHMe
_	48	Н	H	H	Ac	(R) -CONHMe
-	49	Н	Н Н	Н	Ac	(S) -CONHMe
		'' H	H H	H		
<u>_</u>	50	·			PhCH₂	(R) -CONHMe
	51	Н	Н	Н	PhCH₂	(S) -CONHMe
	52	Н	Н	Н	Fmoc	(R) -CONHMe
	53	Н	Н	Н	Fmoc	(S) -CONHMe
	54	Н	Н	Н	PhCH₂	i v
	·				. *	(R)-CON
	55	Н	Н	Н	PhCH ₂	
						(R) -CONH
	56	H.	Н	Н	PhCH ₂	
						(R) -CON
	57	Н	Н	Н	PhCH ₂	(R)-CONH(CH ₂) ₂ OH
	58	Н	Н	Н	Н	(R) -CH₂OH
	59	Н	Н	Н	Н	(S) -CH₂OH
	60	Н	Н	Н	Fmoc	(S) -CH ₂ OH
	61	Н	Н	Н	Fmoc	(R) -CH₂OH
	62	Н	H	H	Вос	(R) -CH₂OH
	63	Н	Н	Н	Boc	(S) -CH₂OH
-	64	Н	Н	Н	PhCH ₂	(R) -CH₂OH
	65	Н	H	Н	PhCH₂	(S) -CH₂OH

	·		•		•
66	Н	Н	(S) -CH₂OBn	PhCH ₂	(R) -CO ₂ Me
67	Н	Н	(S) -CH₂OBn	PhCH ₂	(S) -CO₂Me
68	Н	Н	(R) -CH ₂ OBn	PhCH ₂	(R) -CO₂Me
69	H	Н	(R) -CH ₂ OBn	PhCH ₂	(S) -CO₂Me
70	Н	Н	(S) -CH₂OBn	PhCH ₂	(R) -CH₂OH
71	Н	Н	(S) -CH₂OBn	PhCH ₂	(S) -CH₂OH
72	H	Н	(R) -CH₂OBn	PhCH₂	(R) -CH₂OH
73	Н	Н	(R) -CH₂OBn	PhCH₂	(S) -CH ₂ OH
75	Н	H	(S) -COOH	Fmoc	(R) -CO₂Me
76	Н	Н	(S) -COOH	Fmoc	(S) -CO ₂ Me
77	Н	Н	(R) -COOH	Fmoc	(R) -CO ₂ Me
78	Н	Н	(R) -COOH	Fmoc	(S) -CO ₂ Me
79	H	Н	(S) -CH₂OBn	Fmoc	(R) -CO ₂ Me
80	Н	Н	(S) -CH ₂ OBn	Fmoc	(S) -CO ₂ Me
81	Н	Н	(R) -CH₂OBn	Fmoc	(R) -CO ₂ Me
82	Н	Н	(<i>R</i>) -CH₂OBn	Fmoc	(S) -CO ₂ Me
.83	Н	H	(S) -CH ₂ OBn	H	(R) -CO ₂ Me
84	Н	Н	(S) -CH₂OBn	Н	(S) -CO₂Me
85	H	Н	(R) -CH ₂ OBn	Н	(R) -CO₂Me
86	Н	Н	(R) -CH₂OBn	Н	(S) -CO₂Me
87	H	Н	(S) -CH ₂ OH	Н	(R) -CO₂Me
88	H	Н	(S) -CH₂OH	Н	(S) -CO₂Me
89	Н	Н	(R) -CH₂OH	Н	(R) -CO₂Me
90	Н	Н	(<i>R</i>) -CH₂OH	Н	(S) -CO₂Me
91	Н	Н	(S) -CH₂OH	Fmoc	(R) -CO₂Me
92	Н	Н	(S) -CH₂OH	Fmoc	(S) -CO ₂ Me
93	H	H	(R) -CH₂OH	Fmoc	(R) -CO ₂ Me
94	Н	Н	(R) -CH₂OH	Fmoc	(S) -CO ₂ Me
95	Н	Н	(S) -CH₂OH	Fmoc	(R) -CO ₂ Me
96	Н	Н	(S) -CH₂OH	Fmoc	(S) -CO ₂ Me
97	Н	Н	(R) -CH₂OH	Fmoc	(R) -CO ₂ Me

	•				
98	Н	Н	(R) -CH₂OH	Fmoc	(S) -CO₂Me
99	H	Н	(S) -CH ₂ OH	PhCH ₂	(S) -CO₂Me
100	H	Н	(R) -CH ₂ OH	PhCH₂	(R) -CO ₂ Me
101	Н	Н	(R) -CH₂OH	PhCH₂	(R) -CO ₂ Me
102	H	Н	(R) -CH₂OH	PhCH ₂	(S) -CO ₂ Me
103	H	Н	(S) -CH₂OH	Fmoc	(R) -CH ₂ OH
104	H	Н	(S) -CH ₂ OH	Fmoc	(S) -CH ₂ OH
105	H	Н	(R) -CH ₂ OH	Fmoc	(R) -CH ₂ OH
106	Н	Н	(R) -CH₂OH	Fmoc	(S) -CH ₂ OH
107	Н	Н	(S) -CH ₂ OH	PhCH ₂	(R) -CH₂OH
108	Н	Н	(S) -CH ₂ OH	PhCH ₂	(S) -CH₂OH
109	Н	Н	(R) -CH₂OH	PhCH₂	(R) -CH₂OH
110	H	Н	(R) -CH₂OH	PhCH₂	(S) -CH ₂ OH
111	H	Н	=CH ₂	PhCH ₂	(R) -CO₂Me
112	Н	Н	=CH ₂	PhCH ₂	(S) -CO₂Me
113	Н	Н	=CH ₂	PhCH ₂	(R) -CH₂OH
114	Н	Н	=CH ₂	PhCH₂	(S) -CH ₂ OH
115	H	Н	(S)-CH ₂ CH(Me) ₂	Fmoc	(R) -CH ₂ OH
116	H	Н	(S)-CH ₂ CH(Me) ₂	PhCH ₂	(S) -CH₂OH
117	Н	Н	(S)-CH ₂ CH(Me) ₂	Н	· (R) -CH₂OH
118	Н	Ph	Н	Н	(R) -CO₂Me
119	H	Ph	Н	Fmoc	(<i>R</i>) -CO₂Me
120	Н	Ph	Н	PhCH₂	(R) -CO₂Me
121	H	Ph	Н	CH(Ph)₂	(R) -CO₂Me
122	Н	Ph	Н	Н	(S) -CO₂Me
123	Н	Ph	Н	Fmoc	(S) -CO₂Me
124	Н	Ph	Н	PhCH ₂	(S) -CO₂Me
125	Н	Ph	Н	CH(Ph)₂	(S) -CO₂Me
126	Н	p-NH ₂ -C ₆ H ₄	Н	Ph	(S)-COOMe
127	Н	p-NH ₂ -C ₆ H ₄	Н	Ph	(S)-COOh
128	Н	p-NH ₂ - C ₆ H ₄	Н	Ph	(S)-CONHCH ₂ CO ₂ Me
L			L		

129		· · · · · · · · · · · · · · · · · · ·					
NH ₂ C ₆ H ₄		129	Н	p-NH-	Н	Ph	(S)-CO ₂ Me
130 H p-NH- (Asp(O'Bu)N H ₂)-C ₆ H ₄ 131 H p-NH- H Ph (S)-CONH- Lys(NHBoc)-OMe NH ₂)-C ₆ H ₄ 132 H p-NH- H Ph (S)-CONH-Lys-OMe (Asp(OH)- NH ₂)-C ₆ H ₄ 133 H p-NO ₂ -C ₆ H ₄ H Ph (S)-COOH 134 H p-NO ₂ -C ₆ H ₄ H Ph (S)-COOH 135 H p-NO ₂ -C ₆ H ₄ H Ph (S)-CONHCH ₂ CO ₂ Me 136 H Ph H Ph (R) -CH ₂ OH 137 H Ph H PhCH ₂ (R) -CH ₂ OH 138 H Ph H PhCH ₂ (R) -CH ₂ OH 139 H Ph H CH(Ph) ₂ (R) -CH ₂ OH 140 H Ph H Fmoc (S)-CH ₂ OH 141 H Ph H CH(Ph) ₂ (S) -CH ₂ OH 142 H Ph H CH(Ph) ₂ (S) -CH ₂ OH 143 H Ph H CH(Ph) ₂ (S) -CH ₂ OH 144 H Ph CH(Ph) ₂ (S) -CH ₂ OH 145 H Ph Fmoc (S)-CO ₂ H 146 H H (R) -Me Fmoc (R) -CO ₂ H 147 H H (R) -Me Fmoc (R) -CO ₂ Me 148 H H (R) -Me Fmoc (R) -CO ₂ Me 149 H H (R) -Me Fmoc (R) -CO ₂ Me 150 H H (R) -Me Fmoc (R) -CO ₂ Me			1.	(Asp(O ^t Bu)	•		
(Asp(O'Bu)N H ₂)-C ₆ H ₄ 131 H P-NH- H Ph (S)-CONH- Lys(NHBoc)-OMe NH ₂) C ₆ H ₄ 132 H P-NH- H Ph (S)-CONH-Lys-OMe (Asp(OH)- NH ₂)-C ₆ H ₄ 133 H P-NO ₂ -C ₆ H ₄ H Ph (S)-COOMe 134 H P-NO ₂ -C ₆ H ₄ H Ph (S)-CONHCH ₂ CO ₂ Me 135 H P-NO ₂ -C ₆ H ₄ H Ph (S)-CONHCH ₂ CO ₂ Me 136 H Ph H H (R) -CH ₂ OH 137 H Ph H Fmoc (R) -CH ₂ OH 138 H Ph H PhCH ₂ (R) -CH ₂ OH 139 H Ph H CH(Ph) ₂ (R) -CH ₂ OH 140 H Ph H GS)-CH ₂ OH 141 H Ph H Fmoc (S)-CH ₂ OH 141 H Ph H CH(Ph) ₂ (S) -CH ₂ OH 142 H Ph H PhCH ₂ (S) -CH ₂ OH 143 H Ph H Fmoc (S) -CH ₂ OH 144 H H (S) -Me Fmoc (R) -CO ₂ H 145 H H (R) -Me Fmoc (S) -CO ₂ H 146 H H (R) -Me Fmoc (S) -CO ₂ Me 147 H H (S) -Me Fmoc (R) -CO ₂ Me 150 H H (R) -Me Fmoc (R) -CO ₂ Me				NH ₂) C ₆ H ₄		·	
(Asp(O'Bu)N H ₂)-C ₆ H ₄		130	Н	p-NH-	Н	Ph	(S)-CO₂H
131 H p-NH- (Asp(O'Bu)- (Asp(O'Bu)- (Asp(O'Bu)- (Asp(O'Bu)- (Asp(O'Bu)- (Asp(O'Bu)- (Asp(OH)- (A		•		(Asp(O ^t Bu)N	ı		
(Asp(O'Bu)- NH2) C6H4 132			1.	H ₂)-C ₆ H ₄			
Casp(O'Bu)		131	Н	p-NH-	Н	Ph	(S)-CONH-
NH ₂) C ₆ H ₄				(Asp(O ^t Bu)-			
(Asp(OH)-NH ₂)-C ₆ H ₄ 133 H p-NO ₂ -C ₆ H ₄ H Ph (S)-COOH 134 H p-NO ₂ -C ₆ H ₄ H Ph (S)-COOMe 135 H p-NO ₂ -C ₆ H ₄ H Ph (S)-CONHCH ₂ CO ₂ Me 136 H Ph				NH ₂) C ₆ H ₄			
(Asp(OH)- NH ₂)-C ₆ H ₄ 133 H p-NO ₂ -C ₆ H ₄ H Ph (S)-COOH 134 H p-NO ₂ -C ₆ H ₄ H Ph (S)-COOMe 135 H p-NO ₂ -C ₆ H ₄ H Ph (S)-CONHCH ₂ CO ₂ Me 136 H Ph H H (R) -CH ₂ OH 137 H Ph H Fmoc (R) -CH ₂ OH 138 H Ph H Ph H CH(Ph) ₂ (R) -CH ₂ OH 139 H Ph H CH(Ph) ₂ (R) -CH ₂ OH 140 H Ph H Fmoc (S) -CH ₂ OH 141 H Ph H Fmoc (S) -CH ₂ OH 142 H Ph H PhCH ₂ (S) -CH ₂ OH 143 H Ph H CH(Ph) ₂ (S) -CH ₂ OH 144 H H (S) -Me Fmoc (S) -CO ₂ H 145 H H (R) -Me Fmoc (S) -CO ₂ H 148 H H (S) -Me Fmoc (S) -CO ₂ Me 150 H H (S) -Me Fmoc (S) -CO ₂ Me 150 H H (R) -Me Fmoc (S) -CO ₂ Me 151 H H (R) -Me Fmoc (R) -CO ₂ Me		132	Н	p-NH-	Н	Ph	(S)-CONH-Lys-OMe
133 H p-NO ₂ -C ₆ H ₄ H Ph (S)-COOH 134 H p-NO ₂ -C ₆ H ₄ H Ph (S)-COOMe 135 H p-NO ₂ -C ₆ H ₄ H Ph (S)-CONHCH ₂ CO ₂ Me 136 H Ph H H (R) -CH ₂ OH 137 H Ph H PhCH ₂ (R) -CH ₂ OH 138 H Ph H PhCH ₂ (R) -CH ₂ OH 139 H Ph H CH(Ph) ₂ (R) -CH ₂ OH 140 H Ph H H (S) -CH ₂ OH 141 H Ph H Fmoc (S) -CH ₂ OH 142 H Ph H Fmoc (S) -CH ₂ OH 143 H Ph H CH(Ph) ₂ (S) -CH ₂ OH 144 H Ph H CH(Ph) ₂ (S) -CH ₂ OH 145 H H (S) -Me Fmoc (R) -CO ₂ H 146 H H (R) -Me Fmoc (S) -CO ₂ H 148 H H (S) -Me Fmoc (R) -CO ₂ Me 149 H H (S) -Me Fmoc (R) -CO ₂ Me 150 H H (R) -Me Fmoc (R) -CO ₂ Me 151 H H (R) -Me Fmoc (R) -CO ₂ Me	.		ŀ	(Asp(OH)-			(5) 50.111 Lyo Gino
134 H P-NO ₂ - C ₆ H ₄ H Ph (S)-COOMe 135 H P-NO ₂ - C ₆ H ₄ H Ph (S)-CONHCH ₂ CO ₂ Me 136 H Ph H H (R) -CH ₂ OH 137 H Ph H Ph CH ₂ (R) -CH ₂ OH 138 H Ph H Ph CH(Ph) ₂ (R) -CH ₂ OH 139 H Ph H CH(Ph) ₂ (R) -CH ₂ OH 140 H Ph H H (S) -CH ₂ OH 141 H Ph H Fmoc (S) -CH ₂ OH 141 H Ph H Ph CH(Ph) ₂ (S) -CH ₂ OH 142 H Ph H PhCH ₂ (S) -CH ₂ OH 143 H Ph H CH(Ph) ₂ (S) -CH ₂ OH 144 H H (S) -Me Fmoc (R) -CO ₂ H 145 H H (R) -Me Fmoc (S) -CO ₂ H 146 H H (R) -Me Fmoc (S) -CO ₂ H 148 H H (S) -Me Fmoc (R) -CO ₂ H 149 H H (S) -Me Fmoc (S) -CO ₂ Me 150 H H (R) -Me Fmoc (S) -CO ₂ Me 150 H H Fmoc (S) -CO ₂ Me 150 H H Fmoc (S) -CO ₂ Me	٠			NH ₂)-C ₆ H ₄		·	
134 H p-NO ₂ - C ₆ H ₄ H Ph (S)-COOMe 135 H p-NO ₂ - C ₆ H ₄ H Ph (S)-CONHCH ₂ CO ₂ Me 136 H Ph H H (R) -CH ₂ OH 137 H Ph H PhCH ₂ (R) -CH ₂ OH 138 H Ph H PhCH ₂ (R) -CH ₂ OH 139 H Ph H CH(Ph) ₂ (R) -CH ₂ OH 140 H Ph H Fmoc (S) -CH ₂ OH 141 H Ph H Fmoc (S) -CH ₂ OH 142 H Ph H PhCH ₂ (S) -CH ₂ OH 143 H Ph H CH(Ph) ₂ (S) -CH ₂ OH 144 H H (S) -Me Fmoc (R) -CO ₂ H 145 H H (R) -Me Fmoc (S) -CO ₂ H 148 H H (S) -Me Fmoc (R) -CO ₂ Me 149 H H (S) -Me Fmoc (R) -CO ₂ Me 150 H H (R) -Me Fmoc (S) -CO ₂ Me 150 H H (R) -Me Fmoc (S) -CO ₂ Me 150 H H (R) -Me Fmoc (S) -CO ₂ Me		133	Н	p-NO ₂ -C ₆ H ₄	Н	Ph	(S)-COOH
135 H P-NO ₂ - CeH ₄ H Ph (S)-CONHCH ₂ CO ₂ Me 136 H Ph H Fmoc (R) -CH ₂ OH 137 H Ph H PhCH ₂ (R) -CH ₂ OH 138 H Ph H PhCH ₂ (R) -CH ₂ OH 139 H Ph H CH(Ph) ₂ (R) -CH ₂ OH 140 H Ph H Fmoc (S) -CH ₂ OH 141 H Ph H Fmoc (S) -CH ₂ OH 142 H Ph H PhCH ₂ (S) -CH ₂ OH 143 H Ph H CH(Ph) ₂ (S) -CH ₂ OH 144 H H (S) -Me Fmoc (S) -CO ₂ H 145 H H (R) -Me Fmoc (S) -CO ₂ H 147 H H (R) -Me Fmoc (R) -CO ₂ H 148 H H (S) -Me Fmoc (R) -CO ₂ Me 149 H H (S) -Me Fmoc (S) -CO ₂ Me 150 H H (R) -Me Fmoc (S) -CO ₂ Me		134	Н	p-NO ₂ - C ₆ H ₄	Н	Ph	
136 H Ph H H (R) -CH ₂ OH 137 H Ph H Fmoc (R) -CH ₂ OH 138 H Ph H PhCH ₂ (R) -CH ₂ OH 139 H Ph H CH(Ph) ₂ (R) -CH ₂ OH 140 H Ph H H (S) -CH ₂ OH 141 H Ph H Fmoc (S) -CH ₂ OH 141 H Ph H PhCH ₂ (S) -CH ₂ OH 142 H Ph H PhCH ₂ (S) -CH ₂ OH 143 H Ph H CH(Ph) ₂ (S) -CH ₂ OH 144 H H (S) -Me Fmoc (R) -CO ₂ H 145 H H (S) -Me Fmoc (S) -CO ₂ H 148 H H (S) -Me Fmoc (S) -CO ₂ Me 149 H H (S) -Me Fmoc (S) -CO ₂ Me 150 H H<	7	135	Н	p-NO ₂ - C ₆ H ₄	Н	Ph	
137 H Ph H Fmoc (R) -CH ₂ OH 138 H Ph H PhCH ₂ (R) -CH ₂ OH 139 H Ph H CH(Ph) ₂ (R) -CH ₂ OH 140 H Ph H H (S) -CH ₂ OH 141 H Ph H Fmoc (S) -CH ₂ OH 142 H Ph H PhCH ₂ (S) -CH ₂ OH 143 H Ph H CH(Ph) ₂ (S) -CH ₂ OH 143 H Ph H CH(Ph) ₂ (S) -CH ₂ OH 144 H H (S) -Me Fmoc (R) -CO ₂ H 145 H H (S) -Me Fmoc (S) -CO ₂ H 146 H H (R) -Me Fmoc (S) -CO ₂ H 147 H H (R) -Me Fmoc (S) -CO ₂ Me 149 H H (S) -Me Fmoc (R) -CO ₂ Me 150 H		136	Н	Ph ·	Н	Н	
139 H Ph H CH(Ph) ₂ (R) -CH ₂ OH 140 H Ph H Fmoc (S) -CH ₂ OH 141 H Ph H PhCH ₂ (S) -CH ₂ OH 142 H Ph H PhCH ₂ (S) -CH ₂ OH 143 H Ph H CH(Ph) ₂ (S) -CH ₂ OH 144 H H (S) -Me Fmoc (R) -CO ₂ H 145 H H (R) -Me Fmoc (S) -CO ₂ H 146 H H (R) -Me Fmoc (S) -CO ₂ H 147 H H (S) -Me Fmoc (S) -CO ₂ H 148 H H (S) -Me Fmoc (S) -CO ₂ H 149 H H (S) -Me Fmoc (S) -CO ₂ Me 150 H H (R) -Me Fmoc (S) -CO ₂ Me		137	Н	Ph	Н	Fmoc	
140 H Ph H H (S) -CH ₂ OH 141 H Ph H Fmoc (S) -CH ₂ OH 142 H Ph H PhCH ₂ (S) -CH ₂ OH 143 H Ph H CH(Ph) ₂ (S) -CH ₂ OH 144 H H (S) -Me Fmoc (R) -CO ₂ H 145 H H (S) -Me Fmoc (S) -CO ₂ H 146 H H (R) -Me Fmoc (R) -CO ₂ H 147 H H (R) -Me Fmoc (S) -CO ₂ H 148 H H (S) -Me Fmoc (R) -CO ₂ Me 149 H H (S) -Me Fmoc (S) -CO ₂ Me 150 H H (R) -Me Fmoc (R) -CO ₂ Me		138	Н	Ph	Н	PhCH ₂	(R) -CH₂OH
140 H Ph H H (S) -CH ₂ OH 141 H Ph H Fmoc (S) -CH ₂ OH 142 H Ph H PhCH ₂ (S) -CH ₂ OH 143 H Ph H CH(Ph) ₂ (S) -CH ₂ OH 144 H H (S) -Me Fmoc (R) -CO ₂ H 145 H H (S) -Me Fmoc (S) -CO ₂ H 146 H H (R) -Me Fmoc (S) -CO ₂ H 147 H H (R) -Me Fmoc (S) -CO ₂ H 148 H H (S) -Me Fmoc (R) -CO ₂ Me 149 H H (S) -Me Fmoc (S) -CO ₂ Me 150 H H (R) -Me Fmoc (R) -CO ₂ Me		139	Н	Ph	Н	CH(Ph) ₂	(R) -CH₂OH
142 H Ph H PhCH2 (S) -CH2OH 143 H Ph H CH(Ph)2 (S) -CH2OH 144 H H (S) -Me Fmoc (R) -CO2H 145 H H (S) -Me Fmoc (S) -CO2H 146 H H (R) -Me Fmoc (R) -CO2H 147 H H (R) -Me Fmoc (S) -CO2H 148 H H (S) -Me Fmoc (R) -CO2Me 149 H H (S) -Me Fmoc (S) -CO2Me 150 H H (R) -Me Fmoc (R) -CO2Me		140	Н	Ph	Н	Н	
143 H Ph H CH(Ph) ₂ (S) -CH ₂ OH 144 H H (S) -Me Fmoc (R) -CO ₂ H 145 H H (S) -Me Fmoc (S) -CO ₂ H 146 H H (R) -Me Fmoc (R) -CO ₂ H 147 H H (R) -Me Fmoc (S) -CO ₂ H 148 H H (S) -Me Fmoc (R) -CO ₂ Me 149 H H (S) -Me Fmoc (S) -CO ₂ Me 150 H H (R) -Me Fmoc (R) -CO ₂ Me		141	Н	Ph	Н	Fmoc	(S) -CH₂OH
144 H H (S) -Me Fmoc (R) -CO ₂ H 145 H H (S) -Me Fmoc (S) -CO ₂ H 146 H H (R) -Me Fmoc (R) -CO ₂ H 147 H H (R) -Me Fmoc (S) -CO ₂ H 148 H H (S) -Me Fmoc (R) -CO ₂ Me 149 H H (S) -Me Fmoc (S) -CO ₂ Me 150 H H (R) -Me Fmoc (R) -CO ₂ Me	L	142	Н	Ph	Н	PhCH ₂	(S) -CH₂OH
145 H H (S) -Me Fmoc (S) -CO ₂ H 146 H H (R) -Me Fmoc (R) -CO ₂ H 147 H H (R) -Me Fmoc (S) -CO ₂ H 148 H H (S) -Me Fmoc (R) -CO ₂ Me 149 H H (S) -Me Fmoc (S) -CO ₂ Me 150 H H (R) -Me Fmoc (R) -CO ₂ Me	L		Н	Ph	Н	CH(Ph) ₂	(S) -CH ₂ OH
146 H H (R) -Me Fmoc (R) -CO ₂ H 147 H H (R) -Me Fmoc (S) -CO ₂ H 148 H H (S) -Me Fmoc (R) -CO ₂ Me 149 H H (S) -Me Fmoc (S) -CO ₂ Me 150 H H (R) -Me Fmoc (R) -CO ₂ Me	L	144	Н	Н	(S) -Me	Fmoc	(R) -CO₂H
147 H H (R) -Me Fmoc (R) -CO ₂ H 148 H H (S) -Me Fmoc (R) -CO ₂ H 149 H H (S) -Me Fmoc (S) -CO ₂ Me 150 H H (R) -Me Fmoc (R) -CO ₂ Me 151 H H (R) -Me Fmoc (R) -CO ₂ Me	L	145	Н	Н	(S) -Me	Fmoc	(S) -CO₂H
148 H H (S) -Me Fmoc (R) -CO ₂ Me 149 H H (S) -Me Fmoc (S) -CO ₂ Me 150 H H (R) -Me Fmoc (R) -CO ₂ Me	L	146	Н	Н	(R) -Me	Fmoc	(R) -CO ₂ H
149 H H (S) -Me Fmoc (S) -CO ₂ Me 150 H H (R) -Me Fmoc (R) -CO ₂ Me		147	Н	Н	(R) -Me	Fmoc	(S) -CO ₂ H
149 H H (S) -Me Fmoc (S) -CO ₂ Me 150 H H (R) -Me Fmoc (R) -CO ₂ Me 151 H H (R) -Me Fmoc (R) -CO ₂ Me		148	Н	Н	(S) -Me	Fmoc	
150 H H (R) -Me Fmoc (R) -CO ₂ Me		149	Н	Н	(S) -Me	Fmoc	
151			Н	Н	(R) -Me	Fmoc	
		151	Н	Н	(R) -Me	Fmoc	

152	H	Н	(S) -Me	PhCH ₂	(R) -CO ₂ Me
153	H	Н	(S) -Me	PhCH ₂	(S) -CO ₂ Me
154	H	H	(<i>R</i>) -Me	PhCH ₂	(R) -CO ₂ Me
155	H	Н	(<i>R</i>) -Me	PhCH₂	(S) -CO ₂ Me
156	H	Н	(S) -Me	Fmoc	(R) -CH₂OH
157	H	Н	(S) -Me	Fmoc	(S) -CH ₂ OH
158	H:	Н	(R) -Me	Fmoc	(R) -CH₂OH
159	H	Н	(R) -Me	Fmoc	(S) -CH ₂ OH
160	H	Н	(S) -Me	PhCH ₂	(R) -CH ₂ OH
161	H	Н	(S) -Me	PhCH₂	(S) -CH ₂ OH
162	H	Н	(<i>R</i>) -Me	PhCH ₂	(R) -CH₂OH
163	H	Н	(<i>R</i>) -Me	PhCH ₂	(S) -CH ₂ OH
164	H	Н	(S) -PhCH ₂	Fmoc	(R) -CO₂H
165	H	H	(S) -PhCH ₂	Fmoc	(S) -CO₂H
166	H	Н	(R) -PhCH ₂	Fmoc	(R) -CO₂H
167	H	Н	(R) -PhCH ₂	Fmoc	(S) -CO₂H
168	Н	Н	(S) -PhCH ₂	Fmoc	(R) -CO ₂ Me
169	H	Н	(S) -PhCH ₂	Fmoc	(S) -CO ₂ Me
170	H	. Н	(R) -PhCH ₂	Fmoc	(R) -CO₂Me
171	H·	Н	(R) -PhCH ₂	Fmoc	(S) -CO₂Me
172	H.	Н	(S) -PhCH ₂	PhCH ₂	(R) -CO ₂ Me
173	Н	Н	(S) -PhCH ₂	PhCH ₂	(S) -CO₂Me
174	Н	Н	(R) -PhCH ₂	PhCH ₂	(R) -CO₂Me
175	Н	Н	(R) -PhCH ₂	PhCH ₂	(S) -CO₂Me
176	H	Н	(R) -PhCH ₂	Н	(R) -CO ₂ Me
177	Н	Н	(R) -PhCH ₂	Н	(S) -CO₂Me
178	Н	Н	(S) -PhCH ₂	Н	(R) -CO₂Me
179	Н	Н	(S) -PhCH ₂	Н	(S) -CO ₂ Me
180	Н	Н	(S) -PhCH ₂	Fmoc	(R) -CH₂OH
181	Н	Н	(S) -PhCH ₂	Fmoc	(S) -CH₂OH
182	Н	Н	(R) -PhCH ₂	Fmoc	(R) -CH₂OH
Ī					

183	Н	Н	(R) -PhCH ₂	Fmoc	(S) -CH ₂ OH
184	Н	Н	(S) -PhCH ₂	PhCH ₂	(R) -CH₂OH
185	Н	Н	(S) -PhCH ₂	PhCH ₂	(S) -CH₂OH
186	Н	Н	(R) -PhCH ₂	PhCH₂	(R) -CH ₂ OH
187	Н	Н	(R) -PhCH ₂	PhCH ₂	(S) -CH ₂ OH
188	Н	Н	(S)-PhCH ₂	PhCH ₂	(R)-COOH
189	0	p-NO₂Ph	H	Ph	CONH(CH ₂) ₆ NH ₂

			•	· · · · · · · · · · · · · · · · · · ·	
207	0	Н	(S) -Me	PhCH ₂	(S) -CONHMe
208	0	Н	(<i>R</i>) -Me	PhCH ₂	(R) -CONHMe
209	0	Н	(<i>R</i>) -Me	PhCH ₂	(S) -CONHMe
· 210	0	Н	(S)-PhCH ₂	PhCH ₂	(R) -CONHMe
211	0	Н	(S) -PhCH ₂	PhCH₂	(S) -CONHMe
212	0	, H	(R) -PhCH ₂	PhCH₂	(R) -CONHMe
213	0	Н	(R) -PhCH ₂	PhCH ₂	(S) -CONHMe
214	. 0	Н	(S) -CH ₂ CH(Me) ₂	PhCH ₂	(R) -CONHMe
215	0	Н	(S) -CH ₂ CH(Me) ₂	PhCH ₂	(S) -CONHMe
216	0	Н	(R) -CH ₂ CH(Me) ₂	PhCH ₂	(R) -CONHMe
217	. 0	Н	(R) -CH ₂ CH(Me) ₂	PhCH ₂	(S) -CONHMe
218	Н	H	Н	Fmoc	(R) -CO₂H
219	Н	Н	Н	Fmoc	(R) -CO₂Me
220	Н	Н	Н	Fmoc	(S) -CO₂H
221	Н	Н	Н	Fmoc	(S) -CO ₂ Me
222	Н	Н	(S)-Me	Fmoc	(<i>R</i>) -CO ₂ H
223	Н	Н	(S)-Me	Fmoc	(R) -CO ₂ Me
224	H	Н	(S)-Me	PhCH₂	(R) -CO₂Me
225	Н	Н	(R)-Me	Fmoc	(R) -CO₂H
226	Н	Н	(R)-Me	Fmoc	(R) -CO₂Me
227	Н	Н	(<i>R</i>)-Me	PhCH₂	(R) -CO₂Me
228	Н	Н	(S)-Me	Fmoc	(S) -CO₂H
229	Н	Н	(S)-Me	Fmoc	(S) -CO₂Me
230	Н	Н	(S)-Me	PhCH ₂	(S) -CO₂Me
231	Н	Н	(R)-Me	Fmoc	(S) -CO₂H
232	Н	Н	(R)-Me	Fmoc	(S) -CO₂Me
233	Н	Н	(R)-Me	PhCH ₂	(S) -CO₂Me
234	H	Н	(S)- PhCH ₂	Fmoc	(R) -CO₂H
235	Н	Н	(S)- PhCH ₂	Fmoc	(R) -CO ₂ Me
236	Н	Н	(S)- PhCH ₂	PhCH ₂	(R) -CO ₂ Me
237	Н	Н	(R)- PhCH ₂	Fmoc	(R) -CO₂H
L			<u> </u>		

				· · · · · · · · · · · · · · · · · · ·	·
238	H	H	(R)- PhCH₂	Fmoc	(R) -CO₂Me
239	H.	H	(R)- PhCH ₂	PhCH ₂	(R) -CO ₂ Me
240	Н	Η.	(S)- PhCH ₂	Fmoc	(S) -CO ₂ H
241	Н	Н	(S)- PhCH ₂	Fmoc	(S) -CO ₂ Me
242	Н	Н	(S)- PhCH ₂	PhCH ₂	(S) -CO₂Me
243	Н	Н	(R)- PhCH ₂	Fmoc	(S) -CO₂H
244	Н	Н	(R)- PhCH ₂	Fmoc	(S) -CO ₂ Me
245	Н	Н	(R)- PhCH ₂	PhCH ₂	(S) -CO₂Me
246	Н	Н	(R)- CH₂OH	Fmoc	(S) -CO ₂ Me
247	Н	Н	(R)- CH₂OH	PhCH ₂	(S) -CO₂Me
248	Н	Н	(R)- CH₂OBn	Fmoc	(S) -CO₂Me
249	Н	Н	(<i>R</i>)- CH₂OBn	PhCH ₂	(S) -CO₂Me
250	Н	Н	(<i>R</i>)- CH₂OH	Fmoc	(R) -CO ₂ Me
251	Н	Н	(<i>R</i>)- CH₂OH	PhCH ₂	(R) -CO ₂ Me
252	Н	Н	(<i>R</i>)- CH₂OBn	Fmoc	(R) -CO ₂ Me
253	H	Н	(<i>R</i>)- CH₂OBn	PhCH ₂	(R) -CO ₂ Me
254	Н	Н	(S)- CH₂OH	Fmoc	(S) -CO₂Me
255	Н	Н	(S)- CH₂OH	PhCH₂	(S) -CO ₂ Me
256	Н	Н	(S)- CH₂OBn	Fmoc	(S) -CO ₂ Me
257	Н	Н	(S)- CH₂OBn	PhCH₂	(S) -CO ₂ Me
258	Н	Н	(S)- CH₂OH	Fmoc	(R) -CO ₂ Me
259	Н	Н	(S)- CH₂OH	PhCH ₂	(R) -CO ₂ Me
260	Н	Н	(S)- CH₂OBn	Fmoc	(R) -CO ₂ Me
261	Н	Н	(S)- CH₂OBn	PhCH ₂	(R) -CO ₂ Me
262	Н	Н	(S)-CH ₂ CH(Me) ₂	Bn	(R) -CO ₂ Me
263	Н	Н	(R)-CH ₂ CH(Me) ₂	Bn	(R) -CO ₂ Me
264	Н	Н	(S)-CH ₂ CH(Me) ₂	Bn	(S) -CO₂Me
265	Н	Н	(R)-CH ₂ CH(Me) ₂	Bn	(S) -CO₂Me
266	Н	Н	(S)-CH ₂ CH(Me) ₂	Fmoc	(R) -CO ₂ Me
267	Н	Н	(R)-CH ₂ CH(Me) ₂	Fmoc	(R) -CO₂Me
268	Н	Н	(S)-CH ₂ CH(Me) ₂	Fmoc	(S) -CO ₂ Me
				<u></u>	

269		<u> </u>	(D) CH CH(MA)	T. E	(0) 00 14
	H	Н	(R)-CH ₂ CH(Me) ₂	Fmoc	(S) -CO ₂ Me
270	H	Н	(S)-Me	Н	(R) -CH₂OH
271	H	Н	(S)-Me	Bn	(R) -CH₂OH
272	H	Н	(S)-Me	Fmoc	(R) -CH₂OH
273	H ·	Н	(R)-Me	. Н	(R) -CH₂OH
274	Н	Н	(R)-Me	Bn	(R) -CH₂OH
275	Н	.H	(R)-Me	Fmoc	(R) -CH₂OH
276	Н	Н	(S)-Me	Н	(S) -CH₂OH
277	Н	Н	(S)-Me	Bn	(S) -CH₂OH
278	Н	· H	(S)-Me	Fmoc	(S) -CH₂OH
279	Н	Н	(R)-Me	Н	(S) -CH₂OH
280	Н	Н	(R)-Me	Bn	(S) -CH₂OH
281	Н	, Н	(R)-Me	Fmoc	(S) -CH₂OH
282	Н	Н	(S)-CH ₂ CH(Me) ₂	Н	(R) -CH ₂ OH
283	Н	Н	(S)-CH ₂ CH(Me) ₂	Bn	(R) -CH₂OH
284	Н	Н	(S)-CH ₂ CH(Me) ₂	Fmoc	(R) -CH₂OH
285	. H.	Н	(R)-CH ₂ CH(Me) ₂	Н	(R) -CH₂OH
286	Н	Н	(R)-CH ₂ CH(Me) ₂	Bn	(R) -CH₂OH
287	Н	Н	(R)-CH ₂ CH(Me) ₂	Fmoc	(R) -CH₂OH
288	Η.	Н	(S)-CH₂CH(Me)₂	Н	(S) -CH₂OH
289	Н	Н	(S)-CH ₂ CH(Me) ₂	Bn	(S) -CH₂OH
290	Н	Н	(S)-CH ₂ CH(Me) ₂	Fmoc	(S) -CH₂OH
291	Н	Н	(R)-CH ₂ CH(Me) ₂	Н	(S) -CH₂OH
292	Н	Н	(R)-CH ₂ CH(Me) ₂	Bn	(S) -CH₂OH
293	Н	Н	(R)-CH ₂ CH(Me) ₂	Fmoc	(S) -CH₂OH
294	Н	H	(S) -PhCH ₂	Н	(R) -CH₂OH
295	Н	Н	(S) -PhCH ₂	Bn	(<i>R</i>) -CH₂OH
296	Н	Н	(S) -PhCH ₂	Fmoc	(R) -CH₂OH
297	Н	Н	(R) -PhCH ₂	Н	(<i>R</i>) -CH₂OH
298	Н	Н	(R) -PhCH ₂	Bn	(R) -CH₂OH
299	Н	Н	(R) -PhCH ₂	Fmoc	(R) -CH₂OH
	٠ ١	ı		ı i	. 1

		,	<u>,</u>		
300	Н	Н	(S) -PhCH ₂	Н	(S) -CH ₂ OH
301	Н	Н	(S)-PhCH ₂	Bn	. (S) -CH₂OH
302	Н	Н	(S)-PhCH ₂	Fmoc	(S) -CH ₂ OH
303	Н	Н	(R) -PhCH ₂	Н	(S) -CH ₂ OH
304	Н	Н	(R) -PhCH ₂	Bn	(S) -CH ₂ OH
305	Н	Н	(R) -PhCH ₂	Fmoc	(S) -CH ₂ OH
306	Н	Н	(R)- CH₂OH	Fmoc	(S) -CH ₂ OH
307	Н	Н	(R)- CH₂OH	PhCH ₂	(S) -CH ₂ OH
308	H	Н	(<i>R</i>)- CH₂OBn	Fmoc	(S) -CH ₂ OH
309	Н	Н	(R)- CH₂OBn	PhCH ₂	(S) -CH₂OH
310	Н	Н	(R)- CH₂OH	Fmoc	(R) -CH₂OH
311	Н	Н	(<i>R</i>)- CH₂OH	PhCH₂	(R) -CH₂OH
312	Н	Н	(R)- CH₂OBn	Fmoc	(R) -CH₂OH
313	Н	Н	(R)- CH₂OBn	PhCH₂	(R) -CH₂OH
314	Н	Н	(S)- CH₂OH	Fmoc	(S) -CH₂OH
315	H.	Н	(S)- CH₂OH	PhCH₂	(S) -CH₂OH
316	H	Н	(S)- CH₂OBn	Fmoc	(S) -CH₂OH
317	Η	H	(S)- CH₂OBn	PhCH₂	(S) -CH₂OH
318	Н	Н	(S)- CH₂OH	Fmoc	(R) -CH₂OH
319	Н	Н	(S)- CH₂OH	PhCH₂	(R) -CH₂OH
320	. Н	Н	(S)- CH₂OBn	Fmoc	(R) -CH₂OH
321	Н	Н	(S)- CH₂OBn	PhCH ₂	(R) -CH₂OH

·						•
324	Н	Н	PhCH ₂	Н	Н	CO ₂ Me
325	Н	Н	PhCH ₂	Н	Н	CONHMe
326	Н	Н	Fmoc	. H	Н	CO ₂ Me
327	Н	Н	Fmoc	Н	Н	CONHMe
328	Н	Н	Вос	Н	Н	CO ₂ Me
329	Н	Н	Boc	Н	Н	CONHMe
330	Н	PhCH ₂	Н	·Н	Н	CO ₂ Me
331	Н	PhCH ₂	Н	Н	Н	CONHMe
332	Н	PhCH ₂	PhCH ₂	Н	Н	CO ₂ Me
333	, Н	PhCH ₂	PhCH ₂	Н	Н	CONHMe
334	Η.	PhCH ₂	Fmoc	Н	Н	CO₂Me
335	. H	PhCH ₂	Fmoc	Н	Н	CONHMe
336	Н	PhCH ₂	Вос	Н	Н	CO₂Me
337	Н	PhCH ₂	Вос	Н	Н	CONHMe
338	Н	Н	Н	Н	PhCH₂	CO ₂ Me
339	Н	Н	Н	Н	PhCH ₂	CONHMe
340	Н	Н	PhCH ₂	Н	PhCH ₂	CO₂Me
341	Н	Н	PhCH _{2 ⋅ ,}	Н	PhCH ₂	CONHMe
342	Н	Н	Fmoc	Н	PhCH₂	. CO₂Me
343	Н	Н	Fmoc	Н	PhCH₂	CONHMe
344	Н	Н	Вос	Н	PhCH ₂	CO₂Me
345	Н	Н	Вос	Н	PhCH₂	CONHMe
346	Н	PhCH ₂	Н	Н	PhCH ₂	CO₂Me
347	Н	PhCH ₂	Н	Н	PhCH ₂	CONHMe
348	Н	PhCH ₂	PhCH ₂	Н	PhCH ₂	CO₂Me
349	Н	PhCH ₂	PhCH ₂	÷Н	PhCH ₂	CONHMe
350	H	PhCH ₂	Fmoc	Н	PhCH ₂	CO₂Me
351	Н	PhCH₂	Fmoc	Н	PhCH ₂	CONHMe
352	Н	PhCH₂	Boc	Н	PhCH ₂	CO₂Me
353	Н	PhCH₂	Вос	Н	PhCH ₂	CONHMe
354	Ph	Н	Н	Н	Н	CO₂Me

	<u> </u>				,	•
355	Ph	H	Н	Н	Н .	CONHMe
356	Ph	Н	PhCH ₂	Н	Н	CO ₂ Me
357	Ph	H.	PhCH ₂	Н	Н	CONHMe
358	Ph	Н	Fmoc	Н	Н	CO₂Me
359	Ph	.H	Fmoc	Н	Н	CONHMe
360	Ph	Н	Вос	Н	Н	CO₂Me
361	Ph	Н	Вос	Н	Н	CONHMe
362	Н	Н	Η.	Ph	Н	CO₂Me
363	H	Н	Н	Ph	H	CONHMe
364	Н	Н	PhCH ₂	Ph	Н	CO ₂ Me
365	Н	Н	PhCH ₂	Ph	Н	CONHMe
366	Н	Н	Fmoc	Ph	Н	CO ₂ Me
367	Н	Н	Fmoc	Ph	Н	CONHMe
368	Н	Н	Вос	Ph	Н	CO ₂ Me
369	Н	Н	Вос	Ph	. H	CONHMe
370	Ph	Н	Н	Ph	Н	CO ₂ Me
371	Ph	Н	Н	Ph	Н	CONHMe
372	Ph	H	PhCH ₂	Ph	Н	CO ₂ Me
373	Ph	Н	PhCH ₂	Ph	Н	CONHMe
374	Ph	Н	Fmoc	Ph	Н	CO₂Me
375	Ph	Н	Fmoc	Ph	Н	CONHMe
376	Ph	Н	Boc	Ph	Н	CO₂Me
377	Ph	H"	Вос	Ph	Н	CONHMe
378	Н	Н	Н	Н	CH ₂ OH	CO₂Me
379	Н	Н	Н	Н	CH₂OH	CONHMe
380	Н	H	PhCH₂	Н	CH ₂ OH	CO₂Me
381	Н	Н	PhCH ₂	Н	CH ₂ OH	CONHMe
382	Н	Н	Fmoc	Н	CH ₂ OH	CO₂Me
383	Н	Н	Fmoc	Н	CH ₂ OH	CONHMe
384	Н	Н	Вос	Н	CH₂OH	CO ₂ Me
385	Н	Н	Вос	Н	CH₂OH	CONHMe

386	Н	PhCH₂	Н	Н	CH ₂ OH	CO₂Me
387	Н	PhCH₂	·H	Н	CH₂OH	CONHMe
388	Н	PhCH ₂	PhCH ₂	Н	CH₂OH	CO₂Me
389	Н	PhCH ₂	PhCH ₂	Н	CH₂OH	CONHMe
390	Н	PhCH ₂	Fmoc	Н	CH₂OH	CO₂Me
391	Н	PhCH ₂	Fmoc	Н	CH₂OH	CONHMe
392	Н	PhCH ₂	Boc	Н	CH₂OH	CO₂Me
393	Н	PhCH₂	Boc	Н	CH₂OH	CONHMe
394	Ph	H	Н.	Н	CH ₂ OH	CO₂Me
395	Ph	Н	Н	Н	CH₂OH	CONHMe
396	Ph	Н	PhCH ₂	Н	CH ₂ OH	CO₂Me
397	Ph	Н	PhCH ₂	Н	CH₂OH	CONHMe
398	Ph	Н	Fmoc	Н	CH₂OH	CO₂Me
399	Ph	Н	Fmoc	Н	CH ₂ OH	CONHMe
400	Ph	Н	Boc	Н	CH ₂ OH	CO₂Me
401	Рþ	Н	Boc.	Н	CH ₂ OH	CONHMe
			. —			

$$\begin{array}{c|c}
R_2 & R_1 & R'_1 \\
R_3 - N & Q' & N - R'_3 \\
X & X & X
\end{array}$$
(IIII)

Compound	R ₁	R ₂	R ₃	R' ₁	R'2	R ₃	X	Q'
402	Н	Н	. н	Н	Н	Н	0	CO-NH(CH ₂) ₂ NH-CO
403	Н	Н	Н	Н	Н	Н	0	CO-NH(CH ₂) ₄ NH-CO
404	Н	Н	Н	Н	Н	Н	0	CO-NH(CH ₂) ₆ NH-CO
405	Н	Н	Н	Н	Н	Н	0	CO-N(C ₂ H ₄)N-CO
406	Н	Н	PhCH ₂	·H·	Н	PhCH ₂	0	CO-NH(CH ₂) ₂ NH-CO
407	Н	Н	PhCH ₂	Н	Н	PhCH ₂	0	CO-NH(CH ₂) ₄ NH-CO
408	Н	Н	PhCH ₂	Н	Н	PhCH ₂	0	CO-NH(CH ₂) ₆ NH-CO

· <u></u>				•				
409	Н	Н	PhCH ₂	Н	H	PhCH	2 0	CO-N(C₂H₄)N-CO
410	Н	Ĥ	PhCH ₂	H	Н	PhCH;	2 H	CO-NH(CH ₂) ₂ NH-CO
411	Н	Н	PhCH ₂	Н	Н	PhCH ₂	2 H	CO-NH(CH ₂) ₄ NH-CO
412	Н	Н	PhCH ₂	H ·	Н	PhCH ₂	Н	CO-NH(CH ₂) ₆ NH-CO
413	Н	Н	PhCH ₂	Н	Н	PhCH ₂	Н	CO-N(C ₂ H ₄)N-CO
414	Н	PhCH ₂	PhCH ₂	Н	PhCH ₂	PhCH ₂	0	CO-NH(CH ₂) ₂ NH-CO
415	Н	PhCH ₂	PhCH ₂	Н	PhCH ₂	PhCH ₂	0	CO-NH(CH ₂) ₄ NH-CO
416	Н	PhCH ₂	PhCH ₂	Н	PhCH ₂	PhCH ₂	0	CO-NH(CH ₂) ₆ NH-CO
417	H	PhCH ₂	PhCH ₂	H	PhCH ₂	PhCH ₂	O	CO-N(C ₂ H ₄)N-CO
. 4.18	Н		PhCH ₂	1	PhCH₂	PhCH ₂	Н	CO-NH(CH ₂) ₂ NH-CO
419	Н	PhCH₂	PhCH ₂	Н	PhCH ₂	PhCH ₂	Н	CO-NH(CH ₂) ₄ NH-CO
420	Н		PhCH ₂	l	PhCH₂	PhCH ₂	Н	CO-NH(CH ₂) ₆ NH-CO
421	Н	PhCH ₂	PhCH ₂	Н	PhCH ₂	PhCH ₂	Н	CO-N(C ₂ H ₄)N-CO
422	Ph	Н	PhCH ₂	Ph	Н	PhCH ₂	0	CO-NH(CH ₂) ₂ NH-CO
423	Ph	Н	PhCH ₂	Ph	H	PhCH ₂	0	CO-NH(CH ₂) ₄ NH-CO
424	Ph	Н	PhCH ₂		Н	PhCH ₂	0	CO-NH(CH ₂) ₆ NH-CO
425	Ph	Н	PhCH ₂		Н	PhCH₂	0	CO-N(C ₂ H ₄)N-CO
426	Ph	Н	PhCH ₂		H, ···	PhCH ₂	Н	CO-NH(CH ₂) ₂ NH-CO
427	Ph	Н	PhCH ₂	Ph	Н	PhCH ₂	Н	CO-NH(CH ₂) ₄ NH-CO
428	Ph	Н	PhCH ₂	Ph	Н	PhCH ₂	Н	CO-NH(CH ₂) ₆ NH-CO
429	Ph	Н	PhCH ₂	Ph	Н	PhCH ₂	Н	CO-N(C ₂ H ₄)N-CO
430	Ph	Н	PhCH ₂	Ph	Н	PhCH ₂	Н	CO-NH(CH ₂) ₂ NH-CO
431	Ph	Н	PhCH ₂	Ph	Н	PhCH₂	Н	CO-NH(CH ₂) ₄ NH-CO
432	Ph	Н	PhCH ₂	Ph	Н	PhCH ₂	Н	CO-NH(CH ₂) ₆ NH-CO
433	Ph	H	PhCH ₂	Ph	Н	PhCH ₂	Н	CO-N(C ₂ H ₄)N-CO
434	Ph	Н	Ph	Ph	Н	Ph	0	CO-NH(CH ₂) ₂ NH-CO
435	Ph	Н	Ph	Ph	Н	Ph	0	CO-NH(CH ₂) ₄ NH-CO
436	Ph	Н	Ph	Ph	Н	Ph	0	CO-NH(CH ₂) ₆ NH-CO
437	Ph	Н	Ph	Ph	Н	Ph	0	CO-N(C ₂ H ₄)N-CO
438	NO ₂ -Ph	Н	Ph	NO ₂ -Ph	Н	Ph	0	CO-NH(CH ₂) ₂ NH-CO
439	NO ₂ -Ph	Н	Ph.	NO ₂ -Ph	Н	Ph	0	CO-NH(CH ₂) ₃ NH-CO
								

·			,					
440	NO ₂ -Ph	Н	Ph	NO ₂ -Ph	Н	Ph	0	CO-NH(CH ₂) ₄ NH-CO
441.	NO ₂ -Ph	Н	Ph	NO ₂ -Ph	Н	Ph	0	CO-NH(CH ₂) ₅ NH-CO
442	NO ₂ -Ph	Н	Ph	NO ₂ -Ph	Н	Ph	0	CO-NH(CH ₂) ₆ NH-CO
443	NO ₂ -Ph	Н	Ph	NH ₂ -Ph	Н	Ph	0	CO-N(C ₂ H ₄)N-CO
444	NH ₂ -Ph	Н	Ph	NH ₂ -Ph	Н	Ph	0	CO-NH(CH ₂) ₂ NH-CO
445	NH ₂ -Ph	Н	Ph	NH ₂ -Ph	Н	Ph	0	CO-NH(CH ₂) ₃ NH-CO
446	NH ₂ -Ph	Н	Ph	NH ₂ -Ph	Н	Ph	0	CO-NH(CH ₂) ₄ NH-CO
447	NH ₂ -Ph	Н	Ph	NH ₂ -Ph	Н	Ph	0	CO-NH(CH ₂) ₅ NH-CO
448	NH ₂ -Ph	Н	Ph	NH ₂ -Ph	Н	Ph	0	CO-NH(CH ₂) ₆ NH-CO
449	NH ₂ -Ph	Н	Ph	NH ₂ -Ph	Н	Ph	0	CO-N(C ₂ H ₄)N-CO
450	NO ₂ -Ph	Н	Ph	NO ₂ -Ph	Н	Ph	Н	CO-NH(CH ₂) ₂ NH-CO
451	NO ₂ -Ph	Н	Ph	NO ₂ -Ph	Н	Ph	Н	CO-NH(CH ₂) ₃ NH-CO
452	NO ₂ -Ph	Н	Ph	NO ₂ -Ph	Н	Ph	Н	CO-NH(CH ₂) ₄ NH-CO
453	NO ₂ -Ph	Н	Ph	NO ₂ -Ph	Ι.	Ph	Н	CO-NH(CH ₂) ₅ NH-CO
454	NO ₂ -Ph	Н	Ph	NO ₂ -Ph	Н	Ph	Н	CO-NH(CH ₂) ₆ NH-CO
455	NO ₂ -Ph	Н	Ph	NH ₂ -Ph	I	Ph	Н	CO-N(C ₂ H ₄)N-CO
456	NH ₂ -Ph	Н	Ph	NH ₂ -Ph	Н	Ph	Н	CO-NH(CH ₂) ₂ NH-CO
457	NH ₂ -Ph	Н	Ph	NH ₂ -Ph	H	Ph	Н	CO-NH(CH ₂) ₃ NH-CO
458	NH ₂ -Ph	Н	Ph	NH ₂ -Ph	Н	Ph	Н	CO-NH(CH ₂) ₄ NH-CO
459	NH ₂ -Ph	Н	Ph	NH ₂ -Ph	Н	Ph	Н	CO-NH(CH ₂) ₅ NH-CO
460	NH ₂ -Ph	Н	Ph	NH ₂ -Ph	Н	Ph	Н	CO-NH(CH ₂) ₆ NH-CO
461	NH ₂ -Ph	Н	Ph	NH ₂ -Ph	Н	Ph	Н	CO-N(C₂H₄)N-CO
							لــــــــــــــــــــــــــــــــــــــ	

- 5. The pharmaceutical composition according to claims 1-4, further comprising pharmaceutically acceptable excipients and/or diluents.
- 6. Use of 3-aza-bicyclo[3.2.1]octane derivatives of formula (I), their dimers of formula (II) or (III), and mixtures thereof as defined in claims 1-4, for the preparation of pharmaceutical compositions for the treatment of:
- i) neurodegenerative, inflammatory, toxic, traumatic, or vascular disorders of the central, peripheral, or autonomic nervous system, neural damages secondary to hypoxia, ischaemia, burns, chemotherapy, toxic compounds of various origin (including alcohol), infections, trauma (including surgical trauma) originating

25

axotomy of motoneurons, sensorial, motor, or sensorimotor neuropathies, or autonomic dysfunctions secondary to diverse pathologies, genetic disorders, nervous pathologies of diverse origin, some ocular pathologies, comeal diseases of diverse origin, pathologies from reduced motility of the gastro-intestinal tract or from urinary bladder atony, endocrine neoplastic pathologies, clinical conditions in which stimulation of learning processes is advantageous, and all pathological conditions originating from apoptotic processes of neural cells;

- ii) acquired immunodeficiency diseases due to reduced or absent bioavailability of NGF;
- iii) conditions in which stimulation of neoangiogenesis may be advantageous; iv) certain ocular pathologies.
 - 7. Use of 3-aza-bicyclo[3.2.1]octane derivatives of formula (I), their dimers of formula (II) or (III) and mixtures thereof according to claim 6, in which said neurodegenerative, inflammatory, toxic, traumatic, or vascular disorders of the central, peripheral, or autonomic nervous system are selected from Alzheimer Disease (AD), Amyotrophic Lateral Sclerosis (ALS), Huntington disease, multiple sclerosis, epilepsy, Down syndrome, nervous deafness and Ménière's disease.
 - 8. Use of 3-aza-bicyclo[3.2.1]octane derivatives of formula (I), their dimers of formula (II) or (III) and mixtures thereof according to claim 6, in which said neural damages secondary to infections are selected from polio and HIV virus.
 - 9. Use of 3-aza-bicyclo[3.2.1]octane derivatives of formula (I), their dimers of formula (II) or (III) and mixtures thereof according to claim 6, in which said genetic disorders are selected from Charcot-Marie-Tooth disease, Refsum disease, abetalipoprotenemia, Tangier disease, Krabbe disease, metachromatic leukodystrophy, Fabry disease, Dejerine-Sottas disease.
 - 10. Use of 3-aza-bicyclo[3.2.1]octane derivatives of formula (I), their dimers of formula (II) or (III) and mixtures thereof according to claim 6, in which said nervous pathologies of diverse origin are selected from diffuse atrophy of cerebral cortex, Lewy body dementia, Pick's disease, mesolimbocortical dementia, neuronal ceroid lipofuscinosis, thalamic degeneration, cortico-striatal-spinal degeneration, cortico-basal ganglionic degeneration, cerebro-cerebellar degeneration, familial dementia with spastic paraparesis, polyglucosan bodies disease, Shy-Drager synfrome,

20

25

30

olivopontocerebellar atrophy, progressive supranuclear palsy, deforming muscular dystony, Hallervorden-Spatz disease, Meige's syndrome, familial shivering, Gilles de la Tourette syndrome, chorea-acanthocytosis syndrome, Friedreich's ataxia, Holmes' corticocerebellar familial atrophy, Gerstmann-Straussler-Scheinker disease, progressive spinal muscular atrophy, spastic paraplegia, peroneal muscular atrophy, hypertrophic interstitial polyneuropathy and polyneuritic ataxic heredopathy.

- 11. Use of 3-aza-bicyclo[3.2.1] octane derivatives of formula (I), their dimers of formula (II) or (III) and mixtures thereof according to claim 6, in which said ocular pathologies are selected from optic nerve neuropathies, retinal degeneration, ophtalmoplegy and glaucoma; and said corneal diseases of diverse origin are selected from neurotrophic ulcers, post-traumatic and post-infective corneal disorders.
- 12. Use of 3-aza-bicyclo[3.2.1]octane derivatives of formula (I), their dimers of formula (II) or (III) and mixtures thereof according to claim 6, in which said pathologies from reduced motility of the gastro-intestinal tract or from urinary bladder atony are selected from interstitial cystitis and diabetic cystitis.
 - 13. Use of 3-aza-bicyclo[3.2.1]octane derivatives of formula (I), their dimers of formula (II) or (III) and mixtures thereof according to claim 6, in which said conditions in which stimulation of neoanglogenesis may be advantageous are selected from myocardial infarction, stroke, cerebral aneurysms, gastro-duodenal ulcers, wound healing and peripheral vasculopathies.
 - 14. Use of 3-aza-bicyclo[3.2.1]octane derivatives of formula (I), their dimers of formula (II) or (III) and mixtures thereof according to claim 6, in which said acquired immunodeficiency disease is immunodeficiency of ageing.
 - 15. Use of 3-aza-bicyclo[3.2.1]octane derivatives of formula (I), their dimers of formula (II) or (III) and mixtures thereof as defined in claims 1-4, as reagents for promoting growth-and/or-in-vivo, in vitro-or ex-vivo survival of neuronal cells.
 - 16. Use of 3-aza-bicyclo[3.2.1]octane derivatives of formula (I), their dimers of formula (II) or (III), and mixtures thereof according to claim 15, wherein said neural cells are selected from the group consisting of dopaminergic, cholinergic, sensorial neurons, striatal cells, cortical cells, cells of the corpus striatum, hippocampus.

· 10

cerebellum, olfactory bulbs, peri-aqueductal cells, cells of the raphe nuclei, of the locus coeruleus, of the dorsal root ganglia, sympathetic neurons, lower motoneurons, nervous stem cells, and cells anyhow deriving from the neural plaque.

- 17. Use of 3-aza-bicyclo[3.2.1]octane derivatives of formula (I), their dimers of formula (II) or (III), and mixtures thereof as defined in claims 1-4, for the preparation of culture and storage media useful for conservation of explanted corneas destined to transplantation.
- 18. Use of 3-aza-bicyclo[3.2.1]octane derivatives of formula (I), their dimers of formula (II) or (III), and mixtures thereof as defined in claims 1-4, labelled with suitable reagents (contrast agents, radioisotopes, fluorescent-agents etc.); and possibly processed with procedures useful for medical imaging purposes, in the imaging analysis of tissues and organs containing neurotrophine receptors.
- 19. Use of 3-aza-bicyclo[3.2.1]octane derivatives of formula (I), their dimers of formula (II) or (III), and mixtures thereof according to claim 18, for monitoring the use and efficacy of drugs or for the diagnosis of mammal diseases in which the neurothrophine receptors are involved.
 - 20. The 3-aza-bicyclo[3.2.1]octane derivatives of formula (I) and their dimers of formula (II) and (III) as defined in claim 1, with the exclusion of the compounds indicated by the following numbers:
 - 1,2,5,7,8,9,10,12,13,17,19,20,21,32,34,35,36,38,40,44,58,60,64,65,66,70,75,76, 77,78,79,83,87,91,95,99,101,103,138,145,152,154,163,164,168,172,174,176,178, 184,186,192,322,324,

and as defined in claim 4.

- 21. The 3-aza-bicyclo[3.2.1]octane derivatives of formula (I) and their dimers of formula (II) and (III) according to claim 20, selected from the compounds indicated by the following numbers:
 - 3,4,6,11,14-16,18,22-31,33,37,39,41-43,45-57,59,61-63,67-69,71-74,80-82,84-86,88-90,92-94,96-98,100,102,104-137,139-144,146-151,153,155-162,165-
- 30 167,169-171,173,175,177,179-183,185,187-191,193-321,323,325-461, and as defined in claim 4.